

WHAT IS CLAIMED IS:

1 1. A method for delivery of a compound to the surface of, into or across a
2 biological barrier, the method comprising contacting the barrier with a composition
3 comprising the compound and a delivery-enhancing transporter,
4 wherein the delivery-enhancing transporter comprises sufficient
5 guanidino or amidino moieties to increase delivery of the compound into or across the
6 barrier compared to delivery of the compound in the absence of the delivery-enhancing
7 transporter.

1 2. The method of claim 1, wherein the delivery-enhancing transporter
2 comprises a peptide backbone.

1 3. The method of claim 1, wherein the delivery-enhancing transporter
2 comprises a non-peptide backbone.

1 4. The method of claim 1, wherein the delivery-enhancing transporter
2 comprises from 6 to 50 guanidino or amidino moieties.

1 5. The method of claim 4, wherein the delivery-enhancing transporter
2 comprises from 7 to 15 guanidino moieties.

1 6. The method of claim 1, wherein the delivery-enhancing transporter
2 comprises at least 6 contiguous subunits which each include a guanidino or amidino moiety.

1 7. The method of claim 1, wherein the delivery-enhancing transporter
2 comprises from 6 to 50 subunits, at least 50% of which include a guanidino or amidino
3 moiety.

1 8. The method of claim 7, wherein at least about 70% of the subunits in
2 the delivery-enhancing transporter include a guanidino moiety.

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- 1 **9.** The method of claim 7, wherein each subunit includes a guanidino
2 moiety.
- 1 **10.** The method of claim 7, wherein the subunits are selected from the
2 group consisting of L-arginine, D-arginine, L-homoarginine and D-homoarginine residues.
- 1 **11.** The method of claim 10, wherein each subunit is independently a D- or
2 L-arginine residue.
- 1 **12.** The method of claim 11, wherein at least one subunit is D-arginine.
- 1 **13.** The method of claim 12, wherein all of the arginine residues have a D-
2 configuration.
- 1 **14.** The method of claim 1, wherein the compound is a modified biological
2 agent.
- 1 **15.** The method of claim 1, wherein the composition comprises at least two
2 delivery-enhancing transporters.
- 1 **16.** The method of claim 1, wherein the barrier is an intact epithelial or
2 endothelial tissue layer or layers.
- 1 **17.** The method of claim 1, wherein the compound is a diagnostic imaging
2 or contrast agent.
- 1 **18.** The method of claim 1, wherein the compound is a non-nucleic acid.
- 1 **19.** The method of claim 1, wherein the compound is a non-polypeptide.

1 **20.** The method of claim 1, wherein the compound is selected from the
2 group consisting of antibacterials, antifungals, antivirals, antiproliferatives,
3 immunosuppressives, vitamins, analgesics, and hormones.

1 **21.** The method of claim 1, wherein the biological barrier is skin.

1 **22.** The method of claim 21, wherein the compound is delivered into and
2 across one or more of the stratum corneum, stratum granulosum, stratum lucidum and
3 stratum germinativum.

1 **23.** The method of claim 21, wherein the compound crosses the stratum
2 corneum in the absence of skin pretreatment.

1 **24.** The method of claim 21, wherein the composition is administered
2 topically and the compound is taken up by cells that comprise the follicular or interfollicular
3 epidermis.

1 **25.** The method of claim 21, wherein the composition is administered by a
2 transdermal patch.

1 **26.** The method of claim 1, wherein the compound is a therapeutic agent for
2 a condition selected from the group consisting of Crohn's disease, ulcerative colitis,
3 gastrointestinal ulcers, peptic ulcer disease, and abnormal proliferative diseases.

1 **27.** The method of claim 26, wherein the compound is a therapeutic for
2 ulcers and is selected from the group consisting of an H₂ histamine inhibitor, an inhibitor of
3 the proton-potassium ATPase, and an antibiotic directed at *Helicobacter pylori*.

1 **28.** The method of claim 1, wherein the compound is a therapeutic agent for
2 treating a bronchial condition selected from the group consisting of cystic fibrosis, asthma,
3 allergic rhinitis, and chronic obstructive pulmonary disease.

29. The method of claim 1, wherein the therapeutic agent is an antiinflammatory agent selected from the group consisting of a corticosteroid, cromolyn, and nedocromil.

30. The method of claim 1, wherein the compound is a therapeutic agent for treating ischemia, Parkinson's disease, schizophrenia, cancer, acquired immune deficiency syndrome (AIDS), infections of the central nervous system, epilepsy, multiple sclerosis, neurodegenerative disease, trauma, depression, Alzheimer's disease, migraine, pain, and a seizure disorder.

31. The method of claim 1, wherein the compound is selected from the group consisting of cyclosporin, insulin, a vasopressin, a leucine enkephalin, calcitonin, 5-fluorouracil, a salicylamide, a β -lactone, an ampicillin, a penicillin, a cephalosporin, a β -lactamase inhibitor, a quinolone, a tetracycline, a macrolide, a gentamicin, acyclovir, ganciclovir, a trifluoropyridine, and pentamidine.

32. A composition comprising:
an effective amount of a biologically active agent;
a delivery-enhancing transporter having sufficient guanidino or amidino moieties to increase delivery of the biologically active agent across a biological barrier compared to the delivery of the biologically active agent in the absence of the transporter; and
a pharmaceutically acceptable carrier.

33. The composition of claim 32, wherein the biologically active agent is selected from the group consisting of antiviral agents, antibacterial agents, antifungal agents, antiproliferative agents, immunosuppressive agents, vitamins, analgesic agents and hormones.

34. The composition of claim 33, wherein the biologically active agent is an antiviral agent selected from the group consisting of acyclovir, famciclovir, ganciclovir,

3 foscarnet, idoxuridine, sorivudine, trifluridine, valacyclovir, cidofovir, didanosine,
4 stavudine, zalcitabine, zidovudine, ribavirin and rimantadine.

1 **35.** The composition of claim 32, wherein the biologically active agent is an
2 antibacterial agent selected from the group consisting of nafcillin, oxacillin, penicillin,
3 amoxicillin, ampicillin, cefotaxime, ceftriaxone, rifampin, minocycline, ciprofloxacin,
4 norfloxacin, erythromycin and vancomycin.

1 **36.** The composition of claim 32, wherein the biologically active agent is an
2 antifungal agent selected from the group consisting of amphotericin, itraconazole,
3 ketoconazole, miconazole, nystatin, clotrimazole, fluconazole, ciclopirox, econazole,
4 naftifine, terbinafine and griseofulvin.

1 **37.** The composition of claim 32, wherein the biologically active agent is an
2 antineoplastic agent selected from the group consisting of pentostatin, 6-mercaptopurine, 6-
3 thioguanine, methotrexate, bleomycins, etoposide, teniposide, dactinomycin, daunorubicin,
4 doxorubicin, mitoxantrone, hydroxyurea, 5-fluorouracil, cytarabine, fludarabine, mitomycin,
5 cisplatin, procarbazine, dacarbazine, paclitaxel, colchicine, and the vinca alkaloids.

1 **38.** The composition of claim 32, wherein the biologically active agent is an
2 immunosuppressive agent selected from the group consisting of methotrexate, azathioprine,
3 fluorouracil, hydroxyurea, 6-thioguanine, cyclophosphamide, mechlorethamine
4 hydrochloride, carmustine, cyclosporine, taxol, tacrolimus, vinblastine, dapsone and
5 sulfasalazine..

1 **39.** The composition of claim 32, wherein the biologically active agent is an
2 analgesic agent selected from the group consisting of lidocaine, bupivacaine, novocaine,
3 procaine, tetracaine, benzocaine, cocaine, mepivacaine, etidocaine, proparacaine ropivacaine
4 and prilocaine.

1 **40.** The composition of claim 33, wherein the delivery enhancing
2 transporter is a peptide having from about 6 to about 15 amino acids residues wherein from 6

- 3 to about 12 residues are selected from the group consisting of L-arginine, D-arginine, L-
- 4 homoarginine and D-homoarginine.